

=> d his

(FILE 'USPAT' ENTERED AT 10:01:27 ON 19 MAR 1997)

L1	4827 S PAPILOMAVIRUS OR PV
L2	446 S HUMAN AND L1
L3	0 S L2 AND HPV18
L4	15 S "L1" AND "L2" (P) L2
L5	3705 S VACCINE
L6	42 S L5 AND L2
L7	1 S L6 AND HPV-18

> d 15 all

L5 ANSWER 1 OF 1 WPIDS COPYRIGHT 1997 DERWENT INFORMATION LTD  
AN 93-320439 [40] WPIDS  
DNC C93-142573  
TI Treatment of AIDS-associated optic neuropathy - by oral admin. of  
pentoxifylline and other tumour necrosis factor blockers.  
DC B02  
IN DUGEL, P U; GILL, P S; MADIGAN, M; SADUN, A A  
PA (UYSC-N) UNIV SOUTHERN CALIFORNIA  
CYC 42  
PI WO 9318770 A1 930930 (9340)\* EN 25 pp A61K031-52  
RW: AT BE CH DE DK ES FR GB GR IE IT LU MC NL OA PT SE  
W: AT AU BB BG BR CA CH CZ DE DK ES FI GB HU JP KP KR KZ LK LU  
MG MN MW NL NO NZ PL PT RO RU SD SE SK UA US  
AU 9348085 A 931021 (9407) A61K031-52  
ADT WO 9318770 A1 WO 93-US2704 930324; AU 9348085 A AU 93-48085 930324  
FDT AU 9348085 A Based on WO 9318770  
PRAI US 92-858129 920326  
REP 1.Jnl.Ref  
IC ICM A61K031-52  
AB WO 9318770 A UPAB: 931129  
Treatment of a subject displaying optic neuropathy associated with  
AIDS comprises orally administering an amt. (pref. 200mg-1g per  
dose, 2-4 times per day) of pentoxifylline (I) effective to prevent  
or reduce the expression of tumour necrosis factor (TNF) or  
neutralise TNF in the CNS.  
Also claimed are the prevention or redn. of the expression of  
TNF or neutralisation of TNF in the CNS in methods for treatment of  
optic neuropathy associated with AIDS and for treatment of CNS  
impairment as displayed with AIDS; and for treatment of a subject  
displaying at least one CNS impairment, such as an immune disorder  
disease.  
More specifically, the immune disorder diseases include  
multiple sclerosis, optic neuritis, Devic's disease or demyelinating  
processes.  
USE - The effective use of (I) (a TNF blocker) is based on the  
hypothesis that TNF may be involved in mediating neural damage in  
the CNS and optic nerve, as in AIDS.  
Dwg.0/2  
FS CPI  
FA AB; DCN  
MC CPI: B04-A06; B04-B03A; B12-A06; B12-C10; B12-D02A; B12-L04

16 d  
dx dx

> d 111 1-15

L11 ANSWER 1 OF 15 WPIDS COPYRIGHT 1997 DERWENT INFORMATION LTD  
AN 97-402302 [37] WPIDS  
DNC C97-129737  
TI Enhancing oral absorption of taxane - by co-administration of  
cinchonine, for treatment of tumours etc..  
DC B02  
IN HANSEL, S B  
PA (BRIM) BRISTOL-MYERS SQUIBB CO  
CYC 70  
PI WO 9727855 A1 970807 (9737)\* EN 12 pp A61K031-44  
RW: AT BE CH DE DK EA ES FI FR GB GR IE IT KE LS LU MC MW NL OA  
PT SD SE SZ UG  
W: AL AM AT AU AZ BB BG BR BY CA CH CN CZ DE DK EE ES FI GB GE  
HU IL IS JP KE KG KP KR KZ LK LR LS LT LU LV MD MG MK MN MW  
MX NO NZ PL PT RO RU SD SE SG SI SK TJ TM TR TT UA UG UZ VN  
ADT WO 9727855 A1 WO 97-US405 970115  
PRAI US 96-10916 960131  
IC ICM A61K031-44  
ICS A61K031-47

L11 ANSWER 2 OF 15 WPIDS COPYRIGHT 1997 DERWENT INFORMATION LTD  
AN 97-204369 [19] WPIDS  
DNC C97-065743  
TI Purificn. of ethoxylated fat - by treatment with solid mixt. of  
aluminium oxide and silicate.  
DC B05 B07  
IN DRALLE-VOSS, G; LANG, S; SAUPE, T; STOSSER, M; ZIPPLIES, M; LAND, S;  
STOESSER, M; DRALLEVOSS, G  
PA (BADI) BASF AG  
CYC 41  
PI DE 19536165 A1 970403 (9719)\* 4 pp C07C067-56  
WO 9712017 A1 970403 (9719) DE 14 pp C11B003-10  
RW: AT BE CH DE DK EA ES FI FR GB GR IE IT LU MC NL PT SE  
W: AU BG BR CA CN CZ GE HU IL JP KR LV MX NO NZ PL RO SG SI SK  
TR UA US  
AU 9672120 A 970417 (9732) C11B003-10  
ADT DE 19536165 A1 DE 95-19536165 950928; WO 9712017 A1 WO 96-EP4116  
960920; AU 9672120 A AU 96-72120 960920  
FDT AU 9672120 A Based on WO 9712017  
PRAI DE 95-19536165 950928  
IC ICM C07C067-56; C11B003-10  
ICS A61K047-44; C11C003-00

L11 ANSWER 3 OF 15 WPIDS COPYRIGHT 1997 DERWENT INFORMATION LTD  
AN 97-178792 [16] WPIDS  
DNC C97-057458  
TI **Taxol** deriv. prepn. with new intermediates for use in  
clinical trial(s) in ovarian and metastatic breast cancer - by  
coupling beta-protected amino carboxylic acid and 13-hydroxy-taxane  
and deprotecting resulting ester.  
DC B02  
IN GAO, Y; ZEPP, C M  
PA (SEPR-N) SEPRACOR INC  
CYC 69  
PI WO 9707110 A1 970227 (9716)\* EN 44 pp C07D305-14  
RW: AT BE CH DE DK EA ES FI FR GB GR IE IT KE LS LU MC MW NL OA

PT SD SE SZ UG  
W: AL AM AT AU AZ BB BG BR BY CA CH CN CZ DE DK EE ES FI GB GE  
HU IS JP KE KG KP KR KZ LK LR LS LT LU LV MD MG MK MN MW MX  
NO NZ PL PT RO RU SD SE SG SI SK TJ TM TR TT UA UG UZ VN  
AU 9666464 A 970312 (9727) C07D305-14  
ADT WO 9707110 A1 WO 96-US12666 960802; AU 9666464 A AU 96-66464 960802  
FDT AU 9666464 A Based on WO 9707110  
PRAI US 96-589142 960119; US 95-2140 950811  
IC ICM C07D305-14  
ICS A61K031-335; C07C271-18; C07F007-18

L11 ANSWER 4 OF 15 WPIDS COPYRIGHT 1997 DERWENT INFORMATION LTD  
AN 97-108882 [10] WPIDS  
DNC C97-034750  
TI 3-Amino-2-hydroxy-3-phenyl propionic acid deriv. prepn. - in  
optically active form and high yield by multistage process from  
phenyl glycine, used as **taxol** intermediate.  
DC B05  
IN DRAUZ, K; KOTTENHAHN, M; STINGL, K  
PA (DEGS) DEGUSSA AG  
CYC 25  
PI WO 9702236 A1 970123 (9710)\* DE 31 pp C07C231-12  
RW: AT BE CH DE DK ES FI FR GB GR IE IT LU MC NL PT SE  
W: AU CA CZ IL JP MX NO US  
AU 9663032 A 970205 (9721) C07C231-12  
DE 19524337 C1 970507 (9723) C07C233-51  
ADT WO 9702236 A1 WO 96-EP2573 960614; AU 9663032 A AU 96-63032 960614;  
DE 19524337 C1 DE 95-19524337 950704  
FDT AU 9663032 A Based on WO 9702236  
PRAI DE 95-19524337 950704  
IC ICM C07C231-12; C07C233-51  
ICS A61K031-195; C07C227-26; C07C229-36; C07C231-14; C07C271-06;  
C07C275-42; C07D205-10; C07D207-448; C07D209-48; C07D305-14

L11 ANSWER 5 OF 15 WPIDS COPYRIGHT 1997 DERWENT INFORMATION LTD  
AN 97-077247 [07] WPIDS  
DNC C97-024769  
TI New 13-acyloxy-7-tri ethyl silyloxy-baccatin III derivs. - useful as  
intermediates for **paclitaxel**, known anti-leukaemia and  
tumour inhibiting agent.  
DC B02  
IN CHANDER, M C; SISTI, N J; SWINDELL, C S  
PA (BRYN-N) BRYN MAWR COLLEGE; (NAPR-N) NAPRO BIO THERAPEUTICS INC  
CYC 65  
PI WO 9640666 A1 961219 (9707)\* EN 23 pp C07D305-14  
RW: AT BE CH DE DK EA ES FI FR GB GR IE IT KE LS LU MC MW NL OA  
PT SD SE SZ UG  
W: AL AM AT AU BB BG BR CA CN CZ EE FI GE HU IL IS JP KG KP KR  
LK LR LT LU LV MD MG MK MN MX NO NZ PL RO RU SG SI SK TR TT  
UA UZ VN  
AU 9661706 A 961230 (9716) C07D305-14  
ADT WO 9640666 A1 WO 96-US10024 960607; AU 9661706 A AU 96-61706 960607  
FDT AU 9661706 A Based on WO 9640666  
PRAI US 95-483082 950607  
IC ICM C07D305-14

L11 ANSWER 6 OF 15 WPIDS COPYRIGHT 1997 DERWENT INFORMATION LTD  
AN 97-034076 [03] WPIDS  
DNC C97-010591  
TI New 2'- and/or 7-substd. **paclitaxel** derivs. - useful for  
treating cellular proliferative diseases e.g. sarcoma, carcinoma,  
lymphoma, blastoma, melanomas, myeloma, leukaemia.  
DC B02  
IN BRESSI, J C; DOUGLASS, J G; SELIGSON, A; SOVAK, M  
PA (BIOP-N) BIOPHYSICA FOUND

CYC 70  
 PI WO 9638138 A1 961205 (9703)\* EN 56 pp A61K031-335  
 RW: AT BE CH DE DK EA ES FI FR GB GR IE IT KE LS LU MC MW NL OA  
 PT SD SE SZ UG  
 W: AL AM AT AU AZ BB BG BR BY CA CH CN CZ DE DK EE ES FI GB GE  
 HU IS JP KE KG KP KR KZ LK LR LS LT LU LV MD MG MK MN MW MX  
 NO NZ PL PT RO RU SD SE SG SI SK TJ TM TR TT UA UG US UZ VN  
 AU 9659622 A 961218 (9714) A61K031-335  
 ADT WO 9638138 A1 WO 96-US8245 960531; AU 9659622 A AU 96-59622 960531  
 FDT AU 9659622 A Based on WO 9638138  
 PRAI US 95-457674 950601  
 IC ICM A61K031-335  
 ICS C07D305-14

L11 ANSWER 7 OF 15 WPIDS COPYRIGHT 1997 DERWENT INFORMATION LTD  
 AN 97-023092 [03] WPIDS  
 DNC C97-007480

TI **Taxol** prodrugs are water soluble and inhibit abnormal cell proliferation - e.g. N-de-benzoyl-N-((phosphono-oxymethyl)oxy)carbonyl-2-O-benzoyl-**paclitaxel**, useful in treatment of e.g. cancers and psoriasis.

DC B02  
 IN KADOW, J F; SCOLA, P M; VYAS, D M  
 PA (BRIM) BRISTOL-MYERS SQUIBB CO  
 CYC 23

PI EP 747385 A1 961211 (9703)\* EN 26 pp C07F009-655  
 R: AT BE CH DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE  
 CZ 9601563 A3 961211 (9706) C07D305-14  
 NO 9602231 A 961209 (9707) C07D305-14  
 AU 9654718 A 961219 (9708) C07F009-38  
 JP 08337589 A 961224 (9710) 24 pp C07F009-655  
 CA 2176191 A 961207 (9714) C07F009-655  
 ADT EP 747385 A1 EP 96-109044 960605; CZ 9601563 A3 CZ 96-1563 960529;  
 NO 9602231 A NO 96-2231 960531; AU 9654718 A AU 96-54718 960605; JP  
 08337589 A JP 96-139795 960603; CA 2176191 A CA 96-2176191 960509  
 PRAI US 95-469247 950606  
 IC ICM C07D305-14; C07F009-38; C07F009-655  
 ICS A61K031-335; A61K031-66; A61K031-665; C07F009-547; C07F009-6558  
 ICI C07M007:

L11 ANSWER 8 OF 15 WPIDS COPYRIGHT 1997 DERWENT INFORMATION LTD  
 AN 96-443120 [44] WPIDS  
 DNC C96-139470  
 TI New 10-deacetyl baccatine III and 10-deacetyl-14 b- hydroxy baccatine III derivs. - have cytotoxic and anti-tumoural activity.  
 DC B02  
 IN BOMBARDELLI, E; DE, BELLIS P; GABETTA, B; BELLIS, P  
 PA (INDE-N) INDENA SPA  
 CYC 70

PI WO 9629321 A1 960926 (9644)\* EN 27 pp C07D305-14  
 RW: AT BE CH DE DK EA ES FI FR GB GR IE IT KE LS LU MC MW NL OA  
 PT SD SE SZ UG  
 W: AL AM AT AU AZ BB BG BR BY CA CH CN CZ DE DK EE ES FI GB GE  
 HU IS JP KE KG KP KR KZ LK LR LS LT LU LV MD MG MK MN MW MX  
 NO NZ PL PT RO RU SD SE SG SI SK TJ TM TR TT UA UG US UZ VN  
 AU 9648320 A 961008 (9704) C07D305-14  
 ADT WO 9629321 A1 WO 96-EP904 960304; AU 9648320 A AU 96-48320 960304  
 FDT AU 9648320 A Based on WO 9629321  
 PRAI IT 95-MI533 950317  
 IC ICM C07D305-14  
 ICS A61K031-335; C07D263-04; C07D493-10; C07F007-18

L11 ANSWER 9 OF 15 WPIDS COPYRIGHT 1997 DERWENT INFORMATION LTD  
 AN 96-433740 [43] WPIDS  
 DNC C96-136140

TI New cephalo-mannine epoxide derivs. have anti-cancer activity - are more active than **taxol** A and may be prepd. by oxidn. of taxane deriv..

DC B02

IN DAUGHENBAUGH, R J; MURRAY, C K; ZHENG, Q Y

PA (HAUS-N) HAUSER CHEM RES INC

CYC 20

PI WO 9628435 A1 960919 (9643)\* EN 33 pp C07D305-14  
RW: AT BE CH DE DK ES FI FR GB GR IE IT LU MC NL PT SE  
W: AU CA JP

AU 9653057 A 961002 (9703) C07D305-14

ADT WO 9628435 A1 WO 96-US3242 960308; AU 9653057 A AU 96-53057 960308

FDT AU 9653057 A Based on WO 9628435

PRAI US 95-401711 950310

IC ICM C07D305-14

L11 ANSWER 10 OF 15 WPIDS COPYRIGHT 1997 DERWENT INFORMATION LTD

AN 96-333281 [33] WPIDS

DNC C96-105286

TI New lipophilic drug derivs. for micellar and liposomal formulations - with drug bonded as ester or amide to di hydroxy- or amino-hydroxy-propyl deriv. of e.g. serine, phospho-choline, glucose.

DC B05

IN ANSELL, S

PA (UYBR-N) UNIV BRITISH COLUMBIA

CYC 1

PI US 5534499 A 960709 (9633)\* 11 pp A61K031-70

ADT US 5534499 A US 94-246010 940519

PRAI US 94-246010 940519

IC ICM A61K031-70

ICS A61K009-127; A61K031-715

L11 ANSWER 11 OF 15 WPIDS COPYRIGHT 1997 DERWENT INFORMATION LTD

AN 96-239429 [24] WPIDS

DNC C96-076423

TI New 3'-des phenyl-**paclitaxel** deriv. taxoid cpds. - used as antitumour agents, effective against drug resistant tumours, e.g. adriamycin-resistant breast cancer..

DC B02

IN OJIMA, I

PA (UYNY) UNIV NEW YORK STATE RES FOUND

CYC 67

PI WO 9613495 A1 960509 (9624)\* EN 44 pp C07D305-14  
RW: AT BE CH DE DK ES FR GB GR IE IT KE LS LU MC MW NL OA PT SD  
SE SZ UG

W: AL AM AT AU BB BG BR BY CA CH CN CZ DE DK EE ES FI GB GE HU  
IS JP KE KG KP KR KZ LK LR LS LT LU LV MD MG MK MN MW MX NO  
NZ PL PT RO RU SD SE SG SI SK TJ TM TT UA UG UZ VN

AU 9641330 A 960523 (9635) C07D305-14

EP 788493 A1 970813 (9737) EN C07D305-14

R: AT BE CH DE DK ES FR GB GR IE IT LI LU NL PT SE

ADT WO 9613495 A1 WO 95-US13591 951027; AU 9641330 A AU 96-41330 951027;

EP 788493 A1 EP 95-939561 951027, WO 95-US13591 951027

FDT AU 9641330 A Based on WO 9613495; EP 788493 A1 Based on WO 9613495

PRAI US 94-330956 941028

IC ICM C07D305-14

ICS A61K031-335

L11 ANSWER 12 OF 15 WPIDS COPYRIGHT 1997 DERWENT INFORMATION LTD

AN 96-115642 [12] WPIDS

DNC C96-036575

TI New amino acetoxy methoxy-**paclitaxel** derivs. - used as water-soluble antitumour agents, prepd. e.g. from halo acetoxy methoxy cpd. and amine.

DC B02  
 IN KADOW, J F; WITTMAN, M D  
 PA (BRIM) BRISTOL-MYERS SQUIBB CO  
 CYC 21  
 PI US 5489589 A 960206 (9612)\* 13 pp A61K031-335  
 EP 716085 A1 960612 (9628) EN 22 pp C07D305-14  
 R: AT BE CH DE DK ES FR GB GR IE IT LI LU MC NL PT SE  
 AU 9540242 A 960613 (9631) C07D305-14  
 CA 2163706 A 960608 (9639) C07D305-14  
 JP 08225558 A 960903 (9645) 21 pp C07D305-14  
 ADT US 5489589 A US 94-350919 941207; EP 716085 A1 EP 95-119300 951207;  
 AU 9540242 A AU 95-40242 951206; CA 2163706 A CA 95-2163706 951124;  
 JP 08225558 A JP 95-318827 951207  
 PRAI US 94-350919 941207  
 IC ICM A61K031-335; C07D305-14  
 ICS A61K031-16; A61K031-165; A61K031-395; A61K031-495; A61K031-535;  
 A61K031-54; C07D405-12; C07D407-12; C07D409-12; C07D413-12;  
 C07D417-12

L11 ANSWER 13 OF 15 WPIDS COPYRIGHT 1997 DERWENT INFORMATION LTD  
 AN 95-083403 [12] WPIDS  
 CR 93-281861 [36]; 93-344999 [43]; 94-110856 [14]; 94-134066 [16];  
 94-210176 [26]  
 DNC C95-037498  
 TI New taxane derivs. - contain phosphono oxymethyl or methoxy  
 thiomethyl gps. and are antitumour **taxol** analogues.  
 DC B02 C01 C02  
 IN GOLIK, J; KADOW, J F; KAPLAN, M A; LI, W; PERRONE, R K; THOTTATHIL,  
 J K; VYAS, D; WITTMAN, M D; WONG, H; WRIGHT, J J; VYAS, D M  
 PA (BRIM) BRISTOL-MYERS SQUIBB CO  
 CYC 26  
 PI EP 639577 A1 950222 (9512)\* EN 124 pp C07F009-655  
 R: AT BE CH DE DK ES FR GB GR IE IT LI LU MC NL PT SE  
 NO 9403002 A 950220 (9515) C07F009-38  
 AU 9470267 A 950302 (9516) C07F009-655  
 CA 2129288 A 950218 (9520) C07F009-547  
 FI 9403749 A 950218 (9520) C07F009-655  
 HU 67742 T 950428 (9523) C07D305-14  
 JP 07149779 A 950613 (9532) 121 pp C07F009-655  
 CZ 9401947 A3 950816 (9541) C07D305-14  
 US 5646176 A 970708 (9733) 58 pp A61K031-38  
 CN 1111637 A 951115 (9737) C07F009-655  
 ADT EP 639577 A1 EP 94-112803 940816; NO 9403002 A NO 94-3002 940815; AU  
 9470267 A AU 94-70267 940815; CA 2129288 A CA 94-2129288 940802; FI  
 9403749 A FI 94-3749 940815; HU 67742 T HU 94-2342 940812; JP  
 07149779 A JP 94-250219 940812; CZ 9401947 A3 CZ 94-1947 940811; US  
 5646176 A CIP of US 92-996445 921224, CIP of US 93-108015 930817,  
 CIP of US 93-154840 931124, Cont of US 94-245119 940517, US  
 95-445360 950519; CN 1111637 A CN 94-109468 940815  
 PRAI US 94-245119 940517; US 93-108015 930817; US 93-154840 931124;  
 US 92-996445 921224; US 95-445360 950519  
 IC ICM A61K031-38; C07D305-14; C07F009-38; C07F009-547; C07F009-655  
 ICS A61K031-335; A61K031-66; A61K031-665; A61K031-695; C07D405-12;  
 C07D407-12; C07D409-12; C07D413-12; C07D417-12; C07F007-08;  
 C07F007-10; C07F009-117; C07F009-40; C07F009-6558

L11 ANSWER 14 OF 15 WPIDS COPYRIGHT 1997 DERWENT INFORMATION LTD  
 AN 94-025897 [03] WPIDS  
 DNC C94-011933  
 TI New (meth)acrylamide copolymer bound **paclitaxel** derivs. -  
 used as antitumour agents with high water solubility and low  
 toxicity.  
 DC A14 A96 B02 B04  
 IN ANGELUCCI, F; BIASOLI, G; MONGELLI, N; PESENTI, E; SUARATO, A;  
 MONQELLI, N

PA (PHAA) PHARMACIA SPA; (FARM) FARMITALIA ERBA SRL CARLO; (FARM)  
FARMITALIA ERBA SPA CARLO; (PHAA) PHARMACIA & UPJOHN SPA

CYC 35

PI WO 9400156 A1 940106 (9403)\* 32 pp A61K047-48  
RW: AT BE CH DE DK ES FR GB GR IE IT LU MC NL PT SE  
W: AU BY CA CZ FI HU JP KR KZ NO NZ PL RU UA  
FI 9400733 A 940216 (9418) A61K000-00  
NO 9400567 A 940218 (9418) A61K047-48  
AU 9343233 A 940124 (9420) A61K047-48  
EP 600062 A1 940608 (9422) EN A61K047-48  
R: AT BE CH DE DK ES FR GB GR IE IT LI NL PT SE  
CZ 9400620 A3 940713 (9432) C07D305-14  
ZA 9304388 A 941026 (9443) 30 pp A61K000-00  
US 5362831 A 941108 (9444) 8 pp A61K031-765  
JP 06509822 W 941102 (9503) 12 pp A61K047-48  
CN 1079971 A 931229 (9516) C08F222-36  
HU 67914 T 950529 (9528) C07D305-14  
AU 659750 B 950525 (9529) C08F220-56  
AU 9516282 A 950622 (9536) C07K005-062  
HU 68959 T 950828 (9540) A61K038-05  
US 5473055 A 951205 (9603) 7 pp A61K038-05  
TW 266201 A 951221 (9610) C07C231-04  
NZ 253116 A 960528 (9626) C07D305-14  
AU 671247 B 960815 (9641) C07K005-062  
US 5569720 A 961029 (9649) 7 pp C08F020-56

ADT WO 9400156 A1 WO 93-EP1433 930607; FI 9400733 A WO 93-EP1433 930607,  
FI 94-733 940216; NO 9400567 A WO 93-EP1433 930607, NO 94-567  
940218; AU 9343233 A AU 93-43233 930607; EP 600062 A1 EP 93-912905  
930607, WO 93-EP1433 930607; CZ 9400620 A3 CZ 94-620 930607; ZA  
9304388 A ZA 93-4388 930618; US 5362831 A US 93-77065 930616; JP  
06509822 W WO 93-EP1433 930607, JP 94-501981 930607; CN 1079971 A CN  
93-107196 930617; HU 67914 T WO 93-EP1433 930607, HU 94-800 930607;  
AU 659750 B AU 93-43233 930607; AU 9516282 A Div ex AU 93-43233  
930607, AU 95-16282 950405; HU 68959 T WO 93-EP1433 930607, Div ex  
HU 94-800 930607, HU 94-3439 930607; US 5473055 A Div ex US 93-77065  
930616, US 94-263832 940622; TW 266201 A TW 93-104130 930525; NZ  
253116 A NZ 93-253116 930607, WO 93-EP1433 930607; AU 671247 B Div  
ex AU 93-43233 930607, AU 95-16282 950405; US 5569720 A Div ex US  
93-77065 930616, Div ex US 94-263832 940622, US 95-508210 950727

FDT AU 9343233 A Based on WO 9400156; EP 600062 A1 Based on WO 9400156;  
JP 06509822 W Based on WO 9400156; HU 67914 T Based on WO 9400156;  
AU 659750 B Previous Publ. AU 9343233, Based on WO 9400156; HU 68959  
T Based on WO 9400156; US 5473055 A Div ex US 5362831; NZ 253116 A  
Based on WO 9400156; AU 671247 B Previous Publ. AU 9516282; US  
5569720 A Div ex US 5362831, Div ex US 5473055

PRAI GB 92-13077 920619

IC ICM A61K000-00; A61K031-765; A61K038-05; A61K047-48; C07C231-04;  
C07D305-14; C07K005-062; C08F020-56; C08F220-56; C08F222-36

ICS A61K031-16; A61K031-335; A61K031-785; A61K037-02; A61K038-06;  
A61K038-07; A61K038-08; C07C233-27; C07K005-023; C07K005-04;  
C07K005-065; C08F008-30; C08F008-32; C08F020-58; C08F222-38;  
C08G073-06

L11 ANSWER 15 OF 15 WPIDS COPYRIGHT 1997 DERWENT INFORMATION LTD

AN 93-369147 [47] WPIDS

CR 94-083603 [11]; 94-126870 [16]; 96-230983 [24]

DNC C93-163848

TI New mode of admin. of **taxol** to patients suffering from  
cancer - in lower doses and with shorter admin. times, e.g. over  
duration of up to 6 hours.

DC B02

IN CANETTO, R M; EISENHAEUER, E; ROZENCWEIG, M; CANETTA, R M;  
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L11 ANSWER 1 OF 15 WPIDS COPYRIGHT 1997 DERWENT INFORMATION LTD  
 AB WO 9727855 A UPAB: 970915  
 Enhancing oral absorption of a pharmacologically active taxane to a  
 human, comprises co-administering the taxane with cinchonine.  
 Also claimed is a composition as above, which comprises a  
 taxane, cinchonine and excipients.  
 The cinchonine is co-administered orally and simultaneously  
 with the taxane. The taxane is selected from **paclitaxel**  
 and docetaxel, where **paclitaxel** is given at 50 mg/kg and  
 cinchonine at 250 mg/kg.  
 USE - Taxane can be used for the treatment of tumours,  
 particularly in retractor advanced ovarian and breast cancers. They  
 can also be used for the treatment of conditions associated with  
 abnormal cell proliferation such as psoriasis, solid tumours,

ovarian, breast, brain, prostate, colon, stomach, kidney and/or testicular cancer, kaposi's sarcoma, cholangiocarcinoma, choriocarcinoma, neuroblastoma, Wilm's tumour, Hodgkin's disease, melanomas, multiple myelomas, chronic lymphatic leukaemia and acute or chronic granulocytic lymphomas. The taxane can be used to prevent or delay the appearance or reappearance of, or to treat these conditions and can also be used for the treatment and prevention of polycystic kidney diseases and rheumatoid arthritis.  
Dwg.0/2

L11 ANSWER 2 OF 15 WPIDS COPYRIGHT 1997 DERWENT INFORMATION LTD  
AB DE19536165 A UPAB: 970512

Purificn. of alkoxyated fats comprises treatment with a solid comprising a mixt. of aluminium oxide and a silicate. Also claimed is the purified prod. obtd.

USE - The prod. is used to produce pharmaceuticals (claimed), as solvents for water insol. active agents, such as pharmaceuticals, esp. **paclitaxel (taxol)**.  
Dwg.0/0

L11 ANSWER 3 OF 15 WPIDS COPYRIGHT 1997 DERWENT INFORMATION LTD  
AB WO 9707110 A UPAB: 970417

Prepn. of **taxol (paclitaxel)** (I) and its derivs. comprises: (a) reacting a beta -alkoxycarbonylaminophenyl propionic acid of formula (II) with a 13-hydroxy taxane (III) to form a beta -alkoxycarbonylaminophenylpropionic ester at the C13 of the taxane (IV); and (b) cleaving the beta -alkoxycarbonyl in (IV) to give a beta -amido- alpha -hydroxybenzene propanoic ester of the taxane. R1 = 1-10C alkyl, 1-10C alkoxy or opt. substd. phenyl; R3 = H, lower alkyl, lower alkoxy, di-loweralkylamino or halo; R4 = benzyl, t-butyl, allyl, trichloroethyl or 9-fluorenylmethyl. Cpd. of formula (II) and (IV') (see 'Preferred Process') are new.

USE - **Taxol** is currently used in clinical trials in ovarian and metastatic breast cancer.

ADVANTAGE - The process provides an alternative to extn. from Pacific yew bark (*Taxus brevifolia*).  
Dwg.0/0

L11 ANSWER 4 OF 15 WPIDS COPYRIGHT 1997 DERWENT INFORMATION LTD  
AB WO 9702236 A UPAB: 970307

Prepn. of (2R,3S)- or (2S,3R)-3-amino-2-hydroxy-3-phenylpropionic acid derivs. of formula (I) involves (a) reducing (S)- or (R)-phenylglycine of formula (III) with a hydride reagent, (b) converting the obtd. (S)- or (R)-phenyl-glycinol of formula (IV) into the N-protected deriv. of formula (V), (c) oxidising to the N-protected (S)- or (R)-phenyl-glycinal deriv. of formula (VI), (d) converting (VI) into a (1RS,2S)- or (1RS,2R)-2-amino-1-cyano-2-phenylethane deriv. of formula (VII), (e) hydrolysing (VII) to the acids (or their addn. salts) of formulae (VIII) and (IX); and (f1) converting (VIII) into the (2RS,3S)- or (2RS,3R)-3-amino-2-phenylpropionic acid ester of formula (XII) and protecting the free N of (XIII) to give (I); (f2) converting (IX) into (I); or (f3) N-protecting (VIII) to give (IX) then esterifying to give (I). X = H, 1-6C alkyl or benzyl; Y = 1-6C alkyl, benzyl, CHO, COR1 or COOR2; or X + Y = phthaloyl, maleoyl or maloneyl; R1 = 1-6C alkyl, phenyl, benzyl, NH2, 4-nitrophenyl or 4-nitrobenzyl; R2 = 1-6C alkyl, phenyl, benzyl, 4-nitrophenyl or 4-nitrobenzyl; Z = H, 1-5C alkyl, phenyl, benzyl, 4-nitrobenzyl, 4-nitrophenyl or allyl; n = 0 or 1; W = HCl, HBr or H2SO4; Z' = as Z but not H.

USE - The use of (I), specifically methyl N-benzoyl-3-amino-3-hydroxy-3-phenylpropionate (Ia), for the prepn. of taxols is claimed. (I) are intermediates in the total synthesis of the anticancer agent **taxol (paclitaxel)**.

ADVANTAGE - (I), esp. the key **taxol** intermediate (Ia), are obtd. in higher yields than in prior art methods, by an

environmentally friendly and economical process.  
Dwg.0/0

L11 ANSWER 5 OF 15 WPIDS COPYRIGHT 1997 DERWENT INFORMATION LTD  
AB WO 9640666 A UPAB: 970212

**Paclitaxel** intermediates of formula (I) are new. TES = triethylsilyl; P1 = hydrogenatable benzyl protecting gp.

The claimed prepn. of (I) comprises reacting a cpd. of formula (II) with the C7 TES-protected baccatin of formula (III). The reaction takes place in presence of a dialkylcarbodiimide selected from dicyclohexyl carbodiimide or pref. diisopropylcarbodiimide and dimethylaminopyridine in toluene at 80 deg.C for 3-5 hrs.

USE - (I) are useful in an efficient and cost-effective semi-synthesis of **paclitaxel** (by deprotection and acylation), which is a known antileukaemia and tumour inhibiting agent.  
Dwg.0/0

L11 ANSWER 6 OF 15 WPIDS COPYRIGHT 1997 DERWENT INFORMATION LTD  
AB WO 9638138 A UPAB: 970115

A 2'- and/or 7-substd. **paclitaxel** deriv., and its 2' or 7epimer, where the substit. is bonded to O at the 2' or 7 position through an ether or ester bond and is: (i) a 3-12C hydrophilic gp. having at least 1 heteroatom and up to 1 heteroatom per 1.25 C atoms; (ii) a hydrophilic polymer of 5kD, the polymer consisting of monomers having ether, ester and non-oxo-carbonyl side chains; or (iii) an organic molecule of < 2.5kD other than a poly(amino acid) binding specifically to a mammalian cellular receptor of cells susceptible to neoplasia; is new.

USE - The taxoids are useful for reducing the number of neoplastic cells in a combination of cells (claimed). They are useful for treating cellular proliferative diseases, e.g. neoplasias such as sarcomas, carcinomas, lymphomas, blastomas, melanomas, myelomas, Wilms' tumour, leukaemias and adeno-carcinomas. They can be administered in compsns. in conjunction with other chemotherapeutic agents, e.g. antiandrogens, Ca channel blockers, immuno-stimulators, radiation stimulators.

ADVANTAGE - The taxoids are more water-soluble than **paclitaxel** (PT), and have superior pharmacological properties (in an in-vivo study in mice, 7-(2'',3'' dihydroxypropyl oxycarbonyl)**paclitaxel** was 10 times more effective than '**Taxol**' (RTM: PT) against PC-3 tumours, and 2-3 times safer).  
Dwg.0/18

L11 ANSWER 7 OF 15 WPIDS COPYRIGHT 1997 DERWENT INFORMATION LTD  
AB EP 747385 A UPAB: 970320

**Paclitaxel** prodrugs of formula (I) and their salts are new: R1 = OH, OCORx or OCOORx; R2 = H, OH, OCORx or OCOORx; R2' = H, OH or F; R6' = H or OH; R6 = H; or R2+R6 = oxirane ring or a bond; R3 = H, OH, 1-6C alkoxy, OCONR11R12, OCORx or OCOORx; R8 = Me or CH2OH; or R2+R8 = cyclopropane; R9 = OH or OCORx; one of R7 and R7' = H and the other is OH, OCORx or OCOORx or R7+R7' = oxo; R11, R12 = 1-6C alkyl, H or opt. substd. aryl; R4, R5 = 1-6C alkyl, 2-6C alkenyl, 2-6C alkynyl or ZR10; Z = a bond, 1-6C alkyl or 2-6C alkenyl; R10 = opt. substd. aryl, 3-6C cycloalkyl or heteroaryl; Rd, Re = H, 1-6C alkyl, opt. substd. aryl or phosphono protecting gp.; Rf = H or OH; Rx = 3-6C cycloalkyl, 2-6C alkenyl or 1-6C alkyl (all opt. substd. by 1-6 halo) or -D-(C6H3RaRbRc); D = a bond or 1-6C alkyl; Ra-Rc = H, NO2, NH2, 1-6C alkylamino, di(1-6C alkyl)amino, halo, 1-6C alkyl, OH or 1-6C alkoxy; p = 0 or 1; with provisos.

USE - (I) inhibit abnormal cell proliferation of malignant and non-malignant cells in e.g. skin, muscle, bone, brain, sexual organs, the lymphatic system and blood cells. They are useful in the treatment of psoriasis, cancers of e.g. the breast, prostate or colon, Hodgkin's disease, chronic lymphocytic leukaemia and multiple

myeloma.

ADVANTAGE - **Paclitaxel** has very limited water solubility which requires it to be formulated in a non-aqueous carrier. (I) are water soluble which simplifies formulation.  
Dwg.0/0

L11 ANSWER 8 OF 15 WPIDS COPYRIGHT 1997 DERWENT INFORMATION LTD  
AB WO 9629321 A UPAB: 961104  
10-Deacetyl-baccatine III and 10-deacetyl 14beta-hydroxy baccatine III derivs. of formula (I) are new. R<sub>1</sub>, R<sub>2</sub> = H; or R<sub>2</sub> = H; and R<sub>2</sub> = OH or acetyloxy; or OR<sub>1</sub>R<sub>2</sub> = a cyclic carbonate gp. of formula (i); R<sub>3</sub> = alpha or beta-oriented, H or alkylsilyl, pref. triethylsilyl (TES); R<sub>4</sub> = H, a residue of formula (ii), or an iso-serine residue of formula (iii); R<sub>1</sub>' = 1-5C alkyl or alkenyl, or an aryl residue; R<sub>2</sub>' = 1-5C alkyl or alkenyl, an aryl residue, or a tert-butoxy gp. Syntons of formula (B) and intermediates of formula (9b) are also new.

USE - (I) have cytotoxic and anti-tumoural activity, and may be used in medicaments for treatment of tumours in cardiopathic patients.

ADVANTAGE - (I) show surprising advantages compared with **paclitaxel** on cell lines resistant to other anti-tumoural substances, such as adriamycin or cis-platinum. (I) are devoid of cardiotoxic activity, contrary to **taxol** and its derivs.  
Dwg.0/0

L11 ANSWER 9 OF 15 WPIDS COPYRIGHT 1997 DERWENT INFORMATION LTD  
AB WO 9628435 A UPAB: 961025  
Cephalomannine epoxide or 10-deacetyl **taxol** B epoxide derivs. of formula (I) are new. R = H or acetyl; R<sub>1</sub>-R<sub>3</sub> = H or alkyl.  
USE - (I) have anticancer activity (claimed).  
ADVANTAGE - (I) are more active than **paclitaxel** (**taxol** A).  
Dwg.0/9

L11 ANSWER 10 OF 15 WPIDS COPYRIGHT 1997 DERWENT INFORMATION LTD  
AB US 5534499 A UPAB: 960823  
Lipophilic drug derivatives of the formula (I) and (II) are new. A = a serine, ethanolamine, choline, phosphocholine, phosphoserine, phosphoethanolamine, glycerol, phosphoglycerol, inositol or phosphoinositol radical, NR<sub>1</sub>R<sub>2</sub>, OCOR<sub>3</sub>, OH, O-glucose, O-galactose or O-oligosaccharide; R<sub>1</sub>, R<sub>2</sub> = H or 1-6C alkyl; R<sub>3</sub> = opt. unsaturated alkyl; X, X' = opt. unsatd. alkyl or opt. unsatd. alkylene; Y, Y' = -S-, -NH-, -NHCO-, -CO(CH<sub>2</sub>)<sub>p</sub>CO<sub>2</sub>-, -O-, =NNHCO-, -CO- or -CO(CH<sub>2</sub>)<sub>p</sub>CONH-; p = 0-8; Z, Z' = a therapeutic agent; m, n = 0 or 1; m+n is at least one.

Also claimed are pharmaceutical compsns. comprising (I) or (II) in a micellar or liposomal formulation.

USE - (I) and (II) are esp. derivs. of **paclitaxel**, doxorubicin or podophyllotoxin (claimed). (I) and (II) are useful derivs. of cpds. which are difficult to formulate, esp. **taxol** derivs. The linkage between the therapeutic agent and the lipid can be cleaved in vivo, allowing the agent to be separated from the micellar or liposomal formulation.

A soln. of the liposomes suspended in an aq. carrier may be administered intravenously.  
Dwg.0/0

L11 ANSWER 11 OF 15 WPIDS COPYRIGHT 1997 DERWENT INFORMATION LTD  
AB WO 9613495 A UPAB: 960618  
C-3' -Alk(en)yl taxoid cpds. of formula (I) are new. R<sub>1</sub>=3-5C alkyl or alkenyl gp.; R<sub>2</sub>=3-5C branched alkyl; R<sub>3</sub>,R<sub>4</sub>=H or protecting gp. including functional gps. which increase the water-solubility of (I); R<sub>5</sub>=H, acyl, alkoxycarbonyl or carbamoyl; R<sub>6</sub>=acyl.  
USE - (I) are antineoplastic/antitumour agents, esp. used for

treating leukaemia, melanoma, non-small cell lung, breast, ovarian and colon cancers (all claimed). They are also precursors for other antitumour agents. (I) are administered orally, parenterally or topically. No dosage ranges are given.

ADVANTAGE - (I) have stronger activity than **paclitaxel** or docetaxel against drug-resistant tumours, e.g. more than an order of magnitude higher activity against adriamycin-resistant breast cancer. They also have fewer undesirable side-effects, better pharmacological properties and/or improved activity spectra.  
Dwg.0/0

L11 ANSWER 12 OF 15 WPIDS COPYRIGHT 1997 DERWENT INFORMATION LTD  
AB US 5489589 A UPAB: 960322

Aminoacetoxypoly(methoxy-substd. **paclitaxel** derivs. of formula (I) and their salts are new. R1, R2 = H or -(CH2O)nCOCH2Y (a); R6 = H, 1-8C alkanoyl or (a); n = 1-6; p = 0 or 1; R4, R5 = 1-8C alkyl, 2-8C alkenyl, 2-8C alkynyl or -Z-R7; Z = direct bond, 1-8C alkylene or 2-8C alkenediyl; R7 = aryl (opt. substd.), 3-8C cycloalkyl or heteroaryl; R3 = H, 1-8C alkyl, 2-8C alkenyl, 2-8C alkynyl, 3-8C cycloalkyl, aryl or heteroaryl; Y = NR'R', aziridino, azetidino, pyrrolidino, 4-(R')-piperazino, morpholino or thiomorpholino; R' = H or 1-8C alkyl; provided that at least one of R1, R2 and R6 = (a).

Pref. one of R1, R2 = (a) and the other = H; R3 = Me; R4, R5 = Ph; R6 = acetyl; p = 0.

USE - (I) are antitumour agents (claimed). They are used for the same treatments as **paclitaxel**.

Dose is 1-100 mg/kg, pref. parenterally.

ADVANTAGE - (I) are water-soluble (unlike the parent cpd. **paclitaxel**).  
Dwg.0/0

L11 ANSWER 13 OF 15 WPIDS COPYRIGHT 1997 DERWENT INFORMATION LTD  
AB EP 639577 A UPAB: 950328

Taxane derivs. contg. phosphonooxymethyl (POM) or methylthiomethyl (MTM) ether gps. and of formulae (A) T-(OCH2(OCH2)OP(=O)(OH)2)n; (B) T'-(OCH2(OCH2)mSMe)n; (C) T'-(OCH2(OCH2)mOP(=O)(ORy)2)n; and (D) (13-OM)-Txn-(OCH2(OCH2)mSMe)n and their salts, are new. In the formulae, T = a taxane moiety substd. at C-13 by a substd. 3-amino-2-hydroxypropanoyloxy gp.; T' = T in which non-reacting hydroxy gps. have been blocked; Txn = a taxane nucleus; M = H or a metal; m = 0-6; and n = 1-3.

Also new are certain cpds. related to (B), but in which the hydroxyls are not, or not all, blocked.

USE - (A) are analogues of **taxol** (also called **paclitaxel**), and are antitumour agents. It is believed that they are actually prodrugs, with the solubilising POM gp. split off in vivo by phosphatase. The remaining (B), and (C) and (D), are intermediates for (A) and active (B), of which both find use in both human and veterinary medicine as tumour inhibitors. Dosage is pref. parenteral, 1-100 mg/kg; or 5-500 mg/kg orally.

ADVANTAGE - The POM gp. provides aq. solubility, making formulation partic. for injections, easier.  
Dwg.0/0

L11 ANSWER 14 OF 15 WPIDS COPYRIGHT 1997 DERWENT INFORMATION LTD  
AB WO 9400156 A UPAB: 970220

Novel polymer conjugates (I) comprise: (A) 90-99.9 mol.% N-(2-hydroxypropyl)-methacrylamide units of formula Me-C(CH2)-CONHCH2CH(OH)2Me (I); (B) 0.1-5 mol.% paclitoxel (i.e. **taxol**) residue-contg. N-substd. methacrylamide units of formula Me-C(CH2)-CONHCH2COAlNHCH2CH(OH)Me (II); and opt. (C) 0-9.9 mol.% N-substd. methacrylamide units of formula (III): one of R1, R2 is copolymer residue of formula -CH2-CMe(CONHCH2COA)- and the other is H; R is Ph or t-BuO; R3 is H or acetyl; A, Al = direct bond or

aminoacid or peptide spacer selected from Beta-Ala, Gly, Phe-Gly, Phe-Phe, Leu-Gly, Val-Ala, Phe-Ala, Leu-Phe, Leu-Ala, Phe-Leu-Gly, Phe-Phe-Leu, Leu-Leu-Gly, Phe-Tyr-Ala, Phe-Gly-Phe, Phe-Phe-Gly, Phe-Leu-Gly-Phe, Gly-Phe-Leu-Gly-Phe, Gly-betaAla, Phe-Gly-betaAla, Phe-Phe-betaAla, Leu-Gly-betaAla, Val-Ala-betaAla, Phe-Ala-betaAla, Leu-Phe-betaAla, Leu-Gly-betaAla, Phe-Leu-Gly-betaAla, Phe-Phe-Leu-betaAla, Leu-Leu-Gly, betaAla, Phe-Tyr-Ala-betaAla, Phe-Gly-Phe-betaAla, Phe-Phe-Gly-betaAla, Phe-Leu-Gly-Phe-betaAla or Gly- Phe-Leu-Gly-Phe-betaAla.

Also new are **paclitaxel** derivs. (II') having formula (II) in which (i) R1 = -A'2-H (where A'2 = di-, tri- or tetrapeptide spacer as defined for A) and R2 = H or (ii) R1 = H and R2 = -A'3-H (where A'3 = betaAla or di-, tri- or tetrapeptide spacer as defined for A).

USE/ADVANTAGE - (I) are derivs. of the antitumour agent **paclitaxel** (**taxol**) which have higher water-solubility and lower toxicity than the parent cpd., and are thus suitable for intravenous injection or infusion. (I) are cleared within the cell to release active agent, and show antitumour activity against e.g, Sarcoma, carcinoma, lymphoma, neuroblastoma, myeloma, Wilms tumour, leukaemia and adenocarcinoma. Dosage is 1-1000 (pref. 4-800) mg/kg i.v. (II') are intermediates for (I). They are also useful as antitumour agents having higher water-solubility and lower toxicity than **paclitaxel**.  
Dwg.0/0

L11 ANSWER 15 OF 15 WPIDS COPYRIGHT 1997 DERWENT INFORMATION LTD  
AB AU 641894 B UPAB: 960625

Admin. of **taxol** to a patient suffering from cancer comprises infusing **taxol** (135-175 mg/m2) over a duration not exceeding 6 hrs..

USE/ADVANTAGE - The method requires less **taxol** and less admin. time than prior art methods. It is esp. suitable for treatment of ovarian cancer. It causes less myelosuppression and lower incidence of fever and infection than prior art methods. It is also possible to administer **taxol** on an outpatient basis (earlier processes required) hospitalisation which cuts down on expense and improves patient quality of life. Admin. esp. comprises infusion of 135 mg/m2 of **taxol** over a period of up to 3 hrs.

Dwg.0/0

Dwg.0/0